### INTERNATIONAL SEARCH REPORT

International application No. PCT/KR2004/001996

## A. CLASSIFICATION OF SUBJECT MATTER

### **IPC7 C07D 403/06**

According to International Patent Classification (IPC) or to both national classification and IPC

#### 3. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols) IPC7 C07D 403/06

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Korean patents and applications for inventions since 1975

Electronic data base consulted during the intertnational search (name of data base and, where practicable, search terms used) STN (Caslink)

### C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 6015825 A (PFIZER INC.) 18 January 2000 See the whole document.	1 - 3
A	US 5495024 A (TAKEDA CHEMICAL INDUSTRIES LTD.) 27 February 1996 See the whole document.	1-3
A	US 2001/0012843 A1 (BRISTOL-MYERS SQUIBB COMPANY) 09 August 2001 See the whole document.	1 - 3
A	US 5478826 A (J. URIAH & CIA. S.A.) 26 December 1995 See the whole document.	1 - 3

	Further	documents are	listed in	the	continuation of B	ox C	

X See patent family annex.

- \* Special categories of cited documents:
- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier application or patent but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed
- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- "&" document member of the same patent family

Date of the actual completion of the international search

06 OCTOBER 2004 (06.10.2004)

Date of mailing of the international search report

07 OCTOBER 2004 (07.10.2004)
Authorized officer

Name and mailing address of the ISA/KR



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### INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No. PCT/KR2004/001996

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US6015825A	18.01.2000	ZA9605365A	29.12.199
		W09701552A1	16.01.199
		PL0324336A1	25.05.199
		N00976047A0	22.12.199
		JP10506652T2	30.06.199
		GB9512961A0	30.08.199
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		DE69629300T2	04.03.200
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		EP0548553A1	30.06.199
		CN1075143A	11.08.199
		CA2083679AA	26.05.199
		AU2859292A1	31.03.199
US2001/0012843A1	09.08.2001	W09961017A1	02.12.199
		US20010039353A1	08.11.200
·		US6486159	26.11.200
		US6359141	19.03.200
		US6265584	24.07.200
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		AU4009699A1	13.12.199
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		JP7002788A2	06.01.199
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		EP061703A1	28.09.199
		DK0617031T3	14.06.199
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		AT0171175E	15.10.199

# PATENT COOPERATION TREATY

# **PCT**

REC'D 2 9 AUG 2005

INTERNATIONAL PRELIMINARY REPORT ON PATENTABIL INTPO (Chapter II of the Patent Cooperation Treaty)

PCT

(PCT Artcle 36 and Rule 70)

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Applicant's or agent's file reference PCA40215-KIT	FOR FURTHER ACT	ION	See Form PCT/IPEA/416	5
International application No. PCT/KR2004/001996	International filing date/dec 09 AUGUST 2004 (	• •	Priority date (day/month/ye 12 AUGUST 2003 (12.08	· .
International Patent Classification (IPC IPC C07D 403/06, A6	) or national classification ar	nd IPC		
Applicant	·	<del>'' - '' '' '' '' '' '' '' '</del>		
KOREA RESEARCH INSTI	FUTE OF CHEMICA	L TECHNOLOG	SY et al	
<ol> <li>This report is the international pr Authority under Article 35 and to</li> <li>This REPORT consists of a total</li> </ol>	ansmitted to the applicant a	ccording to Article 36.		mining
3. This report is also accompanied			sheets, as follows:	
sheets of the de	scription, claims and/or drav	vings which have been	amended and are the basis in (see Rule 70.16 and Section	or this report 1 607 of the
beyond the discless Supplemental B b. (sent to the Internation containing a sequence)	osure in the international ap ox. al Bureau only) a total of (in	plication as filed, as in dicate type and numbe hereto, in electronic fo	rm only, as indicated in the	I and the
4. This report contains indications		ns:		
Box No. I Basis of th	e report ·			
Box No. II Priority		•		
		gard to novelty, inventi	ve step and industrial applica	ability
· <u></u>	nity of invention			•
Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability citations and explanations supporting such statement				
Box No. VI Certain documents cited				
Box No. VII Certain defects in the international application				
Box No. VIII Certain of	oservations on the internation	nal application		
Date of submission of the demand		Date of completion of	f this report	
13 JUNE 2005 (1	3.06.2005)	08 AUGUST	7 2005 (08.08.2005)	
Name and mailing address of the IPE		Authorized officer		- The second of
Korean Intellectual Prope 920 Dunsan-dong, Seo-gr Republic of Korea	rty Office 1, Daejeon 302-701,	LEE, Mi Jeong		
Facsimile No. 82-42-472-7140		Telephone No. 82-4	2 491-5601	

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No. PCT/KR2004/001996

Box No. I	Basis of the report
1. With other	regard to the language, this report is based on the international application in the language in which it was filed, unless wise indicated under this item.  This report is based on translations from the original language into the following language which is the language of a translation furnished for the purposes of:  international search (under Rules 12.3 and 23.1(b))  publication of the international application (under Rule 12.4)  international preliminary examination (under Rules 55.2 and/or 55.3)
to the annex	regard to the elements of the international application, this report is based on (replacement sheets which have been furnished receiving Office in response to an invitation under Article 14 are referred to in this reort as "originally filed" and are not ed to this report):  the international application as originally filed/furnished
	the description:  pages as originally filed/furnished  pages* received by this Authority on  pages* received by this Authority on  the claims:  pages as originally filed/furnished
	pages*
3.	the sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.  The amendments have resulted in the cancellation of:  the description, pages the claims, Nos.  the drawings, sheets the sequence listing (specify):  any table(s) related to sequence listing (specify):
4	This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).  the description, pages the claims, Nos.  the drawings, sheets the sequence listing (specify):  any table(s) related to sequence listing (specify):
* If item	4 applies, some or all of those sheets may be marked "superseded."

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/KR2004/001996

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

l.	Statement			
	Novelty (N)	Claims	1-3	YES
		Claims		IES
	· Inventive step (IS)	Claims ·	.1-3	YES
		Claims		NO NO
	Industrial applicability (IA)	Claims	1-3	
		Claims		No
	industrial applicability (IA)		1-3	YES

# 2. Citations and explanations (Rule 70.7)

The following documents are referred to in this report:

D1: US 6015825 A (18 January 2000)

D2: US 5495024 A (27 February 1996)

D3: US 2001/0012843 A1 (9 August 2001)

D4: US 5478826 A (26 December 1995)

# 1. Novelty and Inventive Step

The present invention relates to an azole derivative of formula (I) having a fluorovinyl moiety with antifungal activity against a wide spectrum of pathogenic fungi and advantageously low toxicity.

D1 discloses triazole derivatives which have antifungal activity and are useful in the treatment of fungal infections in animals, including humans.

D2 discloses an optically active azole compound which is useful as an antifungal agent.

D3 discloses water-soluble prodrugs of triazole antifungal compounds having a secondary or tertiary hydroxy group.

D4 discloses orally active azole derivatives with antifungal activity.

None of D1-D4 discloses an azole derivative of formula (I) in the present invention.

The antifungal azole derivatives in D1-D4 differ from the compounds of formula (I) in the present invention in that the compounds of formula (I) have different heteroaryl and aryl moieties including A on the right side of the backbone structure.

Those who skilled in the art would not be able to expect the changes in the backbone structure of the antifungal azole derivatives of formula (I) in the present invention from D1-D4.

Therefore, claims 1-3 of the present invention are considered to be novel and involve an inventive step over D1-D4 [Article 33(2) and 33(3) PCT].

### 2. Industrial Applicability

The subject-matter of claims 1-3 appears to be industrially applicable [Article 33(4) PCT].